

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
1 September 2005 (01.09.2005)

PCT

(10) International Publication Number  
**WO 2005/079866 A1**

(51) International Patent Classification<sup>7</sup>: **A61K 51/00**,  
C07F 5/00, C07D 233/56

(21) International Application Number:  
PCT/US2005/004448

(22) International Filing Date: 14 February 2005 (14.02.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/543,986 12 February 2004 (12.02.2004) US  
60/566,635 29 April 2004 (29.04.2004) US

(71) Applicant (for all designated States except US): **MOLECULAR INSIGHT PHARMACEUTICALS** [US/US]; 160 Second Street, Cambridge, MA 02142 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BABICH, John** [US/US]; 438 Tilden Road, North Scituate, MA 02066 (US). **ECKELMAN, William, C.** [—/US]; Bethesda, MD (US). **MARESCA, Kevin, P.** [US/US]; 57 Merrimack Meadow Lane, Tewksbury, MA 01876 (US). **VALLIANT, John, W.** [—/CA]; 15 Holkham Avenue, Ancaster, Ontario L9K1N8 (CA). **ZUBIETA, Jon** [—/US]; Syracuse, NY (US).

(74) Agents: **GORDON, Dana, M.** et al.; Patent Group, Foley Hoag LLP, 155 Seaport Boulevard, Boston, MA 02210 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: TECHNITIUM-AND RHENIUM-BIS(HETEROARYL) COMPLEXES, AND METHODS OF USE THEREOF

(57) Abstract: One aspect of the invention relates to complexes of technetium (Tc) and rhenium (Re) with various heteroaromatic ligands, e.g., quinolinyl and isoquinolinyl ligands, and their use in fluorescence and radioimaging for a variety of clinical diagnostic applications, as well as radiopharmaceuticals for therapeutic applications. Another aspect of the invention relates to quinolinyl and isoquinolinyl ligands that form a portion of the aforementioned complexes. Methods for the preparation of the technetium and rhenium complexes are also described. Another aspect of the invention relates to quinolinyl and isoquinolinyl ligands based on derivatized lysine, alanine and bis-amino acids for conjugation to small peptides by solid phase synthetic methods. Additionally, the invention relates to methods for imaging regions of a mammal using the complexes of the invention.



WO 2005/079866 A1